## **CLAIMS**

## 1. A compound according to the general Formula (Ia) or the general Formula (Ib)

 $(R^1)_p$   $R^7$   $R^6$   $(CH_2)_q$   $R^5$   $R^4$  (Ia)

the pharmaceutically acceptable acid or base addition salts thereof, the quaternary amines thereof, the stereochemically isomeric forms thereof, the tautomeric forms thereof and the *N*-oxide forms thereof, wherein:

R<sup>1</sup> is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl;

p is an integer equal to 1, 2 or 3;

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R<sup>2</sup> is hydrogen; alkyl; hydroxy; thio; alkyloxy optionally substituted with

amino or mono or di(alkyl)amino or a radical of formula
wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, S, N-R<sup>10</sup> and t is an integer equal to 1 or 2
and the dotted line represents an optional bond; alkyloxyalkyloxy;
alkylthio; mono or di(alkyl)amino wherein alkyl may optionally be
substituted with one or two substituents each independently be selected
from alkyloxy or Ar or Het or morpholinyl or 2-oxopyrrolidinyl; Ar; Het

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/(CH<sub>2</sub>)<sub>1</sub>

or a radical of formula

wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, S,

N-R<sup>10</sup>; t is an integer equal to 1 or 2; and the dotted line represents an optional bond;

 $R^3$  is alkyl, Ar, Ar-alkyl, Het or Het-alkyl;

5 q is an integer equal to zero, 1, 2, 3 or 4;

X is a direct bond or CH<sub>2</sub>;

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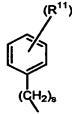
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R<sup>4</sup> and R<sup>5</sup> each independently are hydrogen, alkyl or benzyl; or

R<sup>4</sup> and R<sup>5</sup> together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, 2H-pyrrolyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, imidazolidinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings optionally being substituted with alkyl, halo, haloalkyl, hydroxy, alkyloxy, amino,

mono- or dialkylamino, alkylthio, alkyloxyalkyl, alkylthioalkyl and

pyrimidinyl;



R<sup>6</sup> is hydrogen or a radical of formula wherein s is an integer equal to zero, 1, 2, 3 or 4; r is an integer equal to 1, 2, 3, 4 or 5; and R<sup>11</sup> is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl; or two vicinal R<sup>11</sup> radicals may be taken together to form together with the phenyl ring to

which they are attached a naphthyl;

R<sup>7</sup> is hydrogen, alkyl, Ar or Het; R<sup>8</sup> is hydrogen or alkyl;

R<sup>9</sup> is oxo; or

R<sup>8</sup> and R<sup>9</sup> together form the radical -CH=CH-N=;

R<sup>10</sup> is hydrogen, alkyl, hydroxyl, aminocarbonyl, mono-or

di(alkyl)aminocarbonyl, Ar, Het, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-, Ar-C(=O)-;

30 alkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms; or is a cyclic saturated hydrocarbon radical having from 3 to 6

carbon atoms; or is a a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms attached to a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms; wherein each carbon atom can be optionally substituted with halo, hydroxy, alkyloxy or oxo;

is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, tetrahydronaphthyl, each optionally substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of hydroxy, halo, cyano, nitro, amino, mono- or dialkylamino, alkyl, haloalkyl, alkyloxy, haloalkyloxy, carboxyl, alkyloxycarbonyl, alkylcarbonyl, aminocarbonyl, morpholinyl and mono- or dialkylaminocarbonyl;

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Het is a monocyclic heterocycle selected from the group of N-phenoxypiperidinyl, pyrrolyl, pyrazolyl, imidazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, triazolyl, isothiazolyl, pyridinyl, pyrimidinyl, pyrazinyl and pyridazinyl; or a bicyclic heterocycle selected from the group of quinolinyl, isoquinolinyl, 1,2,3,4-tetrahydroisoquinolinyl, quinoxalinyl, indolyl, indazolyl, benzimidazolyl, benzoxazolyl, benzisoxazolyl, benzothiazolyl, benzisothiazolyl, benzofuranyl, benzothienyl, 2,3-dihydrobenzo[1,4]dioxinyl or benzo[1,3]dioxolyl; each monocyclic and bicyclic heterocycle may optionally be substituted on a carbon atom with 1, 2 or 3 substituents selected from the group of halo, hydroxy, alkyl or alkyloxy;

halo is a substituent selected from the group of fluoro, chloro, bromo and iodo and haloalkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms or a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms, wherein one or more carbon atoms are substituted with one or more halo-atoms;

R<sup>3</sup> X-OH
(CH<sub>2</sub>)<sub>q</sub>
(CH<sub>2</sub>)<sub>q</sub>

provided that when  $R^7$  is hydrogen then the  $R^4$  radical may also be placed in position 3 of the quinoline ring.

- A compound according to claim 1 provided that when R<sup>6</sup> is other than hydrogen then
   R<sup>7</sup> is hydrogen and when R<sup>7</sup> is other than hydrogen then R<sup>6</sup> is hydrogen.
  - 3. A compound according to claim 1 or 2 wherein R<sup>2</sup> is hydrogen; alkyl; alkyloxy optionally substituted with amino or mono or di(alkyl)amino or a radical of formula

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wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, S, N-R<sup>10</sup> and t is an integer equal to 1 or 2 and the dotted line represents an optional bond; mono or di(alkyl)amino; Ar; Het or a

radical of formula wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, S, N-R<sup>10</sup>; t is an integer equal 1 or 2; and the dotted line represents an optional bond.

- 4. A compound according to any one of the preceding claims wherein R<sup>3</sup> is naphthyl, phenyl or Het, each optionally substituted with 1 or 2 substituents, that substituent being a halo or haloalkyl.
- 5. A compound according to any one of the preceding claims wherein q is equal to 1.
  - 6. A compound according to any one of the preceding claims wherein R<sup>4</sup> and R<sup>5</sup> each independently are hydrogen or alkyl.
- 7. A compound according to any one of the preceding claims wherein R<sup>6</sup> is hydrogen or



a radical of formula wherein s is a integer equal to 1 or 2.

wherein s is an integer equal to zero or 1; r is an

- 8. A compound according to any one of the preceding claims wherein R<sup>7</sup> is hydrogen or Ar.
  - 9. A compound according to claim 1 wherein  $R^1$  is hydrogen, halo, alkyl or Het;  $R^2$  is alkyl, alkyloxy optionally substituted with mono or di(alkyl)amino or a radical of

formula wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, N-R<sup>10</sup>, t is an integer equal to 1 or 2, and R<sup>10</sup> is hydrogen, alkyl, hydroxyl, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-; Ar; Het; a radical of formula

wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, N-R<sup>10</sup>; t is an integer equal to 1 or 2,

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wherein R<sup>10</sup> is hydrogen, alkyl, hydroxyl, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-; R<sup>3</sup> is Ar or Het, each optionally substituted with 1 or 2 substituents that substituent being a halo; R<sup>4</sup> and R<sup>5</sup> are each alkyl; R<sup>6</sup> is hydrogen, phenyl, benzyl or 4-methylbenzyl; R<sup>7</sup> is hydrogen or phenyl; R<sup>8</sup> is hydrogen; R<sup>9</sup> is oxo.

10. A compound according to claim 1 wherein

R<sup>1</sup> is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl;

10 p is an integer equal to 1, 2 or 3;

R<sup>2</sup> is hydrogen; alkyl; hydroxy; thio; alkyloxy optionally substituted with

amino or mono or di(alkyl)amino or a radical of formula

wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, S, N-R<sup>10</sup> and t is an integer equal to 1 or 2
and the dotted line represents an optional bond; alkyloxyalkyloxy;
alkylthio; mono or di(alkyl)amino wherein alkyl may optionally be
substituted with one or two substituents each independently be selected
from alkyloxy or Ar or Het or morpholinyl or 2-oxopyrrolidinyl; Het or

a radical of formula wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, S, N-R<sup>10</sup>; t is an integer equal to 1 or 2; and the dotted line represents an

optional bond;

R<sup>3</sup> is alkyl, Ar, Ar-alkyl, Het or Het-alkyl;

q is an integer equal to zero, 1, 2, 3 or 4;

X is a direct bond;

pyrimidinyl;

R<sup>4</sup> and R<sup>5</sup> each independently are hydrogen, alkyl or benzyl; or

25 R<sup>4</sup> and R<sup>5</sup> together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, 2H-pyrrolyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, imidazolidinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings optionally being substituted with alkyl, halo, haloalkyl, hydroxy, alkyloxy, amino, mono- or dialkylamino, alkylthio, alkyloxyalkyl, alkylthioalkyl and

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R<sup>6</sup> is a radical of formula wherein s is an integer equal to zero, 1, 2, 3 or 4; r is an integer equal to 1, 2, 3, 4 or 5; and R<sup>11</sup> is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl; or two vicinal R<sup>11</sup> radicals may be taken together to form together with the phenyl ring to which they are attached a naphthyl;

R<sup>7</sup> is hydrogen, alkyl, Ar or Het;

R<sup>8</sup> is hydrogen or alkyl;

R<sup>9</sup> is oxo; or

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10 R<sup>8</sup> and R<sup>9</sup> together form the radical -CH=CH-N=;

R<sup>10</sup> is hydrogen, alkyl, aminocarbonyl, mono-or di(alkyl)aminocarbonyl, Ar, Het, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-;

alkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms; or is a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms; or is a a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms attached to a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms; wherein each carbon atom can be optionally substituted with halo, hydroxy, alkyloxy or oxo;

20 Ar is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, tetrahydronaphthyl, each optionally substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of hydroxy, halo, cyano, nitro, amino, mono- or dialkylamino, alkyl, haloalkyl, alkyloxy, haloalkyloxy, carboxyl, alkyloxycarbonyl, alkylcarbonyl, aminocarbonyl, morpholinyl and mono- or dialkylaminocarbonyl;

Het is a monocyclic heterocycle selected from the group of N-phenoxypiperidinyl, pyrrolyl, pyrazolyl, imidazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, triazolyl, pyridinyl, pyrimidinyl, pyrazinyl and pyridazinyl; or a bicyclic heterocycle selected from the group of quinolinyl, quinoxalinyl, indolyl, indazolyl, benzimidazolyl, benzoxazolyl, benzisoxazolyl, benzisothiazolyl, benzisothiazolyl, benzofuranyl, benzothienyl, 2,3-dihydrobenzo[1,4]dioxinyl or benzo[1,3]dioxolyl; each monocyclic and

bicyclic heterocycle may optionally be substituted on a carbon atom with 1, 2 or 3 substituents selected from the group of halo, hydroxy, alkyl or alkyloxy;

is a substituent selected from the group of fluoro, chloro, bromo and iodo and halo is a straight or branched saturated hydrocarbon radical having from 1 to haloalkyl 6 carbon atoms or a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms, wherein one or more carbon atoms are substituted with one or more halo-atoms.

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- 11. A compound according to any one of the preceding claims wherein the compound is a compound of formula (Ia).
  - 12. A compound according to any one of the preceding claims for use as a medicine.
- 13. A composition comprising a pharmaceutically acceptable carrier and, as active ingredient, a therapeutically effective amount of a compound as defined in any one of 15 claims 1 to 11.
  - 14. Use of a compound according to any one of claims 1 to 11 or a composition according to claim 13 for the manufacture of a medicament for the treatment of mycobacterial diseases.
  - 15. Method of treating a patient suffering from, or at risk of, a mycobacterial disease, which comprises administering to the patient a therapeutically effective amount of a compound according to any one of claims 1 to 11 or pharmaceutical composition according to claim 13.
  - 16. A process for preparing a compound according to claim 1 characterized by a) reacting an intermediate of formula (II) with H-R<sup>2a</sup> or with a suitable salt form of H-R<sup>2a</sup>, optionally in the presence of a suitable solvent and optionally in the presence of a suitable base

wherein W<sub>1</sub> represents a suitable leaving group, wherein R<sup>2a</sup> represents alkoxy; a radical of

formula wherein t and Z are defined as in claim 1; alkyloxy substituted

with a radical of formula wherein t and Z are defined as in claim 1; mono or di(alkyl)amino wherein alkyl may optionally be substituted with one or two substituents each independently be selected from alkyloxy or Ar or Het or morpholinyl or 2-oxopyrrolidinyl; and wherein R<sup>1</sup>, R<sup>3</sup> to R<sup>7</sup>, p, q and X are defined as in claim 1; b) reacting an intermediate of formula (II) with R<sup>2b</sup>-B(OH)<sub>2</sub> in the presence of a suitable catalyst, a suitable solvent, and a suitable base

wherein W<sub>1</sub> represents a suitable leaving group, wherein R<sup>2b</sup> represents Het or alkyl and wherein R<sup>1</sup>, R<sup>3</sup> to R<sup>7</sup>, p, q and X are defined as in claim 1;

c) reacting an intermediate of formula (II) with in the presence of a suitable catalyst, a suitable solvent and a suitable base,

wherein  $W_1$  represents a suitable leaving group and wherein  $R^1$ ,  $R^3$  to  $R^7$ , p, q and X are defined as in claim 1;

d) reacting an intermediate of formula (III) with an intermediate of formula (IV) in the presence of a suitable coupling agent, in the presence of a suitable solvent and optionally in the presence of a suitable base,

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$$(R^{1})_{p} \xrightarrow{R^{7}} R^{6} + R^{3} \xrightarrow{(CH_{2})_{q}} R^{5} \xrightarrow{(CH_{2})_{q}} (R^{1})_{p} \xrightarrow{R^{7}} R^{6}$$

$$(R^{1})_{p} \xrightarrow{R^{7}} R^{6} + R^{4} \xrightarrow{(CH_{2})_{q}} (R^{1})_{p} \xrightarrow{R^{7}} R^{6}$$

$$(R^{1})_{p} \xrightarrow{R^{7}} R^{6} + R^{4} \xrightarrow{(CH_{2})_{q}} (R^{1})_{p} \xrightarrow{R^{7}} R^{6}$$

$$(R^{1})_{p} \xrightarrow{R^{7}} R^{6} + R^{7} \xrightarrow{(CH_{2})_{q}} (R^{1})_{p} \xrightarrow{R^{7}} R^{6}$$

$$(R^{1})_{p} \xrightarrow{R^{7}} R^{6} + R^{7} \xrightarrow{(CH_{2})_{q}} (R^{1})_{p} \xrightarrow{R^{7}} R^{6}$$

$$(R^{1})_{p} \xrightarrow{R^{7}} R^{6} \xrightarrow{R^{7}} R^{6}$$

$$(R^{1})_{p} \xrightarrow{R^{7}} R^{6} \xrightarrow{R^{7}} R^{6}$$

wherein  $W_2$  represents a suitable leaving group and wherein  $R^1$  to  $R^7$ , p and q are defined as in claim 1;

e) reacting an intermediate of formula (II) with a suitable acid in the presence of a suitable solvent,

wherein  $W_1$  represents a suitable leaving group and wherein  $R^1$ ,  $R^3$  to  $R^7$ , p, q and X are defined as in claim 1;

f) converting a compound of formula (Ia-5) into a compound of formula (Ia-6), by

halo 
$$\mathbb{R}^7$$
  $\mathbb{R}^6$  Het  $\mathbb{R}^7$   $\mathbb{R}^6$   $\mathbb{R}^4$  (la-6)

wherein R<sup>2</sup> to R<sup>7</sup>, p, q and X are defined as in claim 1;

g) converting a compound of formula (Ia-5) into a compound of formula (Ia-7), by reaction with Sn(CH<sub>3</sub>)<sub>4</sub> in the presence of a suitable catalyst and a suitable solvent,

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halo 
$$R^7$$
 $CH_3$ 
 $R^7$ 
 $R^6$ 
 $CH_3$ 
 $R^7$ 
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wherein R<sup>2</sup> to R<sup>7</sup>, p, q and X are defined as in claim 1;

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or, if desired, converting compounds of formula (Ia) or (Ib) into each other following art-known transformations, and further, if desired, converting the compounds of formula (Ia) or (Ib), into a therapeutically active non-toxic acid addition salt by treatment with an acid, or into a therapeutically active non-toxic base addition salt by treatment with a base, or conversely, converting the acid addition salt form into the free base by treatment with alkali, or converting the base addition salt into the free acid by treatment with acid; and, if desired, preparing stereochemically isomeric forms, quaternary amines, tautomeric forms or N-oxide forms thereof.